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产品名称：Tebanicline (hydrochloride)
产品别名：Ebanicline hydrochloride; ABT-594 hydrochloride

生物活性：

Description	Tebanicline hydrochloride (ABT594 hydrochloride) is a nAChR modulator with potent, orally effective analgesic activity. It inhibits the binding of cytisine to α4β2 neuronal nAChRs with a K_i of 37 pM.
IC_{50} & Target	Ki: 37 pM (nAChR)[1]
In Vitro	Tebanicline is a novel, potent cholinergic nAChR ligand with analgesic properties that shows preferential selectivity for neuronal nAChRs. It inhibits the binding of cytisine to α4β2 neuronal nAChRs with a K_i of 37 pM. Functionally, tebanicline is an agonist. At the transfected human α4β2 neuronal nAChR in K177 cells, with increased $^{86}Rb^+$ efflux as a measure of cation efflux, ABT-594 has an EC_{50} value of 140 nM with an intrinsic activitycompared with (−)-nicotine of 130%; at the nAChR subtype expressed in IMR-32 cells, an EC_{50} of 340 nM; at the F11 dorsal root ganglion cell line, an EC_{50} of 1220 nM; and via direct measurement of ion currents, an EC_{50} value of 56,000 nM at the human α7 homo-oligimeric nAChR produced in oocytes[1]
In Vivo	Tebanicline is a potent antinociceptive agent with full efficacy in models of acute and persistent pain and that these effects are mediated predominately by an action at central neuronal nAChRs[2]. Tebanicline produces significant antinociceptive effects in mice against both acute noxious thermal stimulation. ABT-594 is orally active, but 10-fold less potent by this route than after i.p. administration. The antinociceptive effect of ABT-594 is prevented, but not reversed, by the noncompetitive neuronal nicotinic acetylcholine receptor antagonist[3]. Tebanicline has antinociceptive effects in rat models of acute thermal, persistent chemical, and neuropathic pain. Direct injection of tebanicline into the nucleus raphe magnus (NRM) is antinociceptive in a thermal threshold test and destruction of serotonergic neurons in the NRM attenuates the effect of systemic tebanicline[4].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 34 mg/mL (144.61 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2533 mL	21.2666 mL	42.5333 mL
	5 mM	0.8507 mL	4.2533 mL	8.5067 mL
	10 mM	0.4253 mL	2.1267 mL	4.2533 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

[1]. Donnelly-Roberts DL, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective analgesic acting via neuronal nicotinic acetylcholine receptors: I. In vitro characterization.J Pharmacol Exp Ther. 1998 May;285(2):777-86.

[2]. Bannon AW, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective

References	antinociceptive agent acting via neuronal nicotinic acetylcholine receptors: II. In vivo characterization. J Pharmacol Exp Ther. 1998 May;285(2):787-94. [3]. Decker MW, et al. Antinociceptive effects of the novel neuronal nicotinic acetylcholine receptor agonist, ABT-594, in mice. Eur J Pharmacol. 1998 Apr 3;346(1):23-33. [4]. Decker MW, et al. The role of neuronal nicotinic acetylcholine receptors in antinociception: effects of ABT-594. J Physiol Paris. 1998 Jun-Aug;92(3-4):221-4.

实验参考：

Animal Administration	Rats: Rats are dosed with either saline or ABT-594 (0.3 μM/kg i.p.) b.i.d. for 5 days. Treatments are separated by approximately 6 h (i.e., morning and afternoon). In the hot box experiment, animals are tested in the morning and afternoon on days 1, 2 and 5. For each test, a base-line measure is recorded, and then animals are tested 15, 30 and 45 min after treatment. For the afternoon treatment on day 5, all animals received a challenge dose of ABT-594 (0.3 μM/kg i.p.) before being tested. For the motor coordination experiment, animals are tested only in the afternoon on day 5[2]. Mice: Tebanicline is dissolved and diluted in sterile 0.9% saline. The effects of tebanicline are tested for anxiolytic-like activity using the elevated plus-maze procedure. Mice are injected with ABT-594 (0.019, 0.062, or 0.19 μM/kg) or saline, the mouse is placed in the center of the maze and allowed to explore the maze for 5 min. During this period, an auto-mated video tracking system is used to record the time spent on the open arms and the total distance traveled. Diazepam (10.5 μM/kg, i.p). is used as a positive control compound[3].
References	[1]. Donnelly-Roberts DL, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective analgesic acting via neuronal nicotinic acetylcholine receptors: I. In vitro characterization.J Pharmacol Exp Ther. 1998 May;285(2):777-86. [2]. Bannon AW, et al. ABT-594 [(R)-5-(2-azetidinylmethoxy)-2-chloropyridine]: a novel, orally effective antinociceptive agent acting via neuronal nicotinic acetylcholine receptors: II. In vivo characterization. J Pharmacol Exp Ther. 1998 May;285(2):787-94. [3]. Decker MW, et al. Antinociceptive effects of the novel neuronal nicotinic acetylcholine receptor agonist, ABT-594, in mice. Eur J Pharmacol. 1998 Apr 3;346(1):23-33. [4]. Decker MW, et al. The role of neuronal nicotinic acetylcholine receptors in antinociception: effects of ABT-594. J Physiol Paris. 1998 Jun-Aug;92(3-4):221-4.